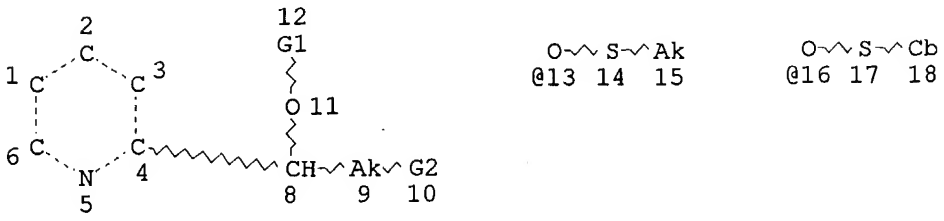
Northington - Davis
10/684146



(FILE 'REGISTRY' ENTERED AT 10:17:29 ON 10 AUG 2004)

L1 STR

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VAR G2=X/13/16
NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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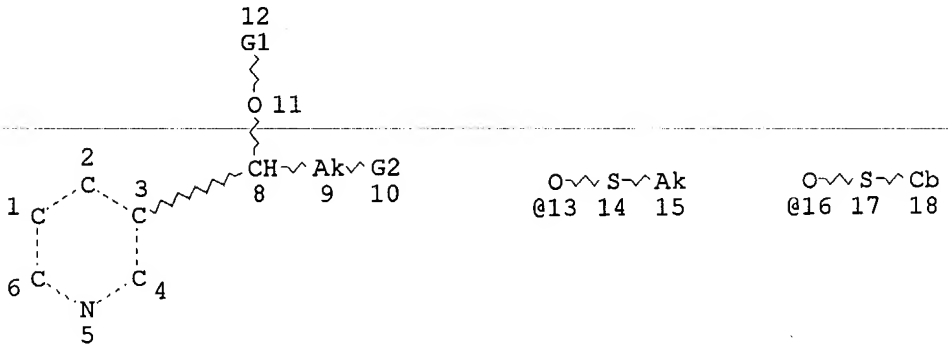
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

L2 STR




VAR G1=CY/SI
VAR G2=X/13/16
NODE ATTRIBUTES:
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE

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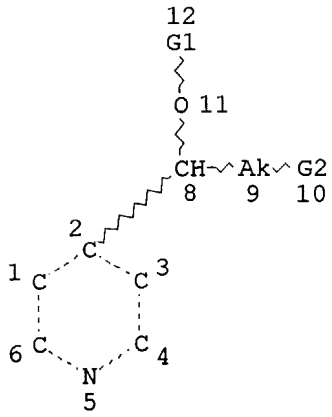


O S Ak
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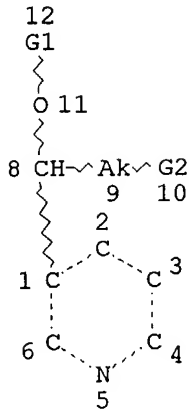
O S Cb
@16 17 18

VAR G1=CY/SI
VAR G2=X/13/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L4 STR




O S Ak
@13 14 15

O S Cb
@16 17 18

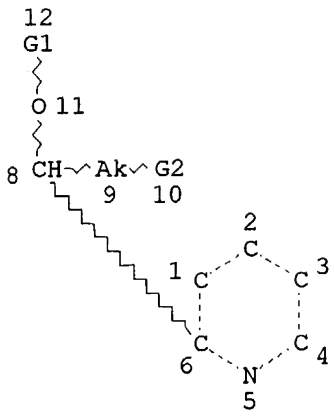
VAR G1=CY/SI
VAR G2=X/13/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

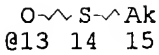
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

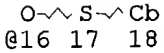
STEREO ATTRIBUTES: NONE

Searcher : Shears 571-272-2528

L5 STR




VAR G1=CY/SI
VAR G2=X/13/16
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

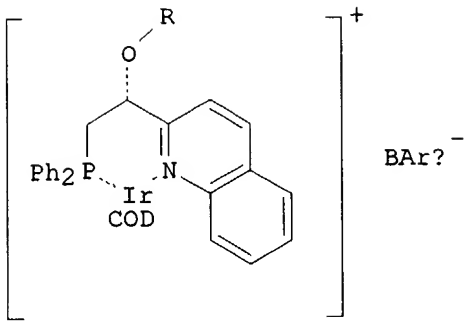
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 17

STEREO ATTRIBUTES: NONE
L6 12 SEA FILE=REGISTRY SSS FUL L1 OR L2 OR L3 OR L4 OR L5

100.0% PROCESSED 573179 ITERATIONS 12 ANSWERS
SEARCH TIME: 00.00.12

FILE 'CAPLUS' ENTERED AT 11:43:04 ON 10 AUG 2004
L7 16 S L6

L7 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:14394 CAPLUS
DOCUMENT NUMBER: 140:217793
TITLE: Synthesis of versatile chiral N,P ligands derived from pyridine and quinoline
AUTHOR(S): Drury, William J., III; Zimmermann, Nicole; Keenan, Martine; Hayashi, Masahiko; Kaiser, Stefan; Goddard, Richard; Pfaltz, Andreas
CORPORATE SOURCE: Department of Chemistry, University of Basel, Basel, Switz.
SOURCE: Angewandte Chemie, International Edition (2004), Volume Date 2003, 43(1), 70-74
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:217793
GI



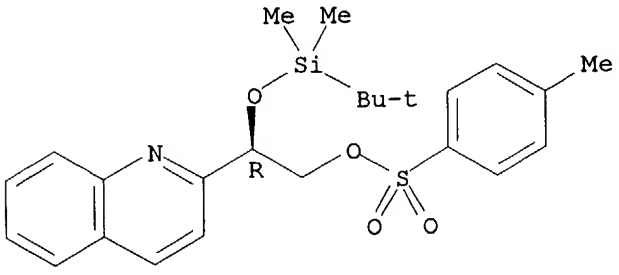

I

AB Potent transition-metal complexes, e.g. I (BArF = tetrakis(3,5-bis(trifluoromethyl)phenyl)borate; R = Si(t-Bu)Me2, Si(iPr)3, Si(t-Bu)Ph2), for asym. catalysis are formed from readily accessible N,P ligands constructed from basic N-heteroaryl building blocks. Their simple assembly should not be misconstrued: they posses several handles by which to tune both steric and electronic parameters. The potential of these ligands is demonstrated by the high levels of enantioselection they induce in such divergent processes as asym. hydrogenation and the Heck reaction. The crystal structures of I were determined

IT **664994-92-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of versatile chiral iminophosphine ligands derived from pyridine and quinoline and their cationic iridium complexes for asym. catalysis)

RN 664994-92-7 CAPLUS
CN 2-Quinolineethanol, β-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).




REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696892 CAPLUS
DOCUMENT NUMBER: 139:219360
TITLE: Crystal forms of (R)-2-(2-(4-oxazol-4-

ylphenoxy)ethylamino)-1-pyridin-3-ylethanol

INVENTOR(S): Krzyzaniak, Joseph Francis; Lafontaine, Jennifer Anne
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

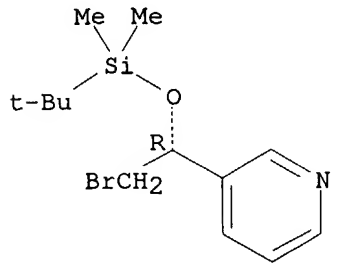
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003072573 | A1 | 20030904 | WO 2003-IB737 | 20030217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003199556 | A1 | 20031023 | US 2003-373492 | 20030225 |
| PRIORITY APPLN. INFO.: | | | US 2002-360252P P | 20020227 |

AB The present invention provides an anhydrous crystal form of (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate (I) and a crystal form of the monohydrate of such a tosylate salt, processes useful in the preparation of the crystal forms, pharmaceutical composition comprising the crystal forms, methods of treating β3-adrenergic receptor-mediated diseases in a mammal using such crystal forms. Thus, (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol in MeOH was treated with p-toluenesulfonic acid monohydrate to give I. The crystal structure of I was determined

IT **591214-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(crystal forms of (oxazolylphenoxy)ethylaminopyridinylethanol)

RN 591214-75-4 CAPLUS
CN Pyridine, 3-[(1R)-2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:696890 CAPLUS
DOCUMENT NUMBER: 139:230764
TITLE: Preparation of (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate and tosylate hydrate as a β3-adrenergic receptor agonists
INVENTOR(S): Krzyzaniak, Joseph Francis; Lafontaine, Jennifer Anne
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003072571 | A1 | 20030904 | WO 2003-IB575 | 20030217 |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

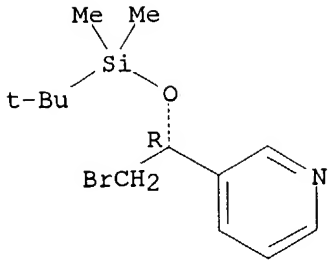
PRIORITY APPLN. INFO.: US 2002-360248P P 20020227

AB (R)-2-(2-(4-oxazol-4-ylphenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate and tosylate hydrate were prepared as β3-adrenergic receptor agonists (no data). Thus, a stirred mixture of (R)-3-[2-bromo-1-(tert-butyldimethylsilanyl)ethyl]pyridine, 2-(4-oxazol-4-ylphenoxy)ethylamine, and (Me2CH)2NH in Me2SO was heated at about 90° for 18 h to give 56% (R)-(2-tert-butyldimethylsilanoxy-2-pyridin-3-ylethyl)-[2-(4-oxazol-4-ylphenoxy)ethyl]amine. This was stirred with Bu4NF in THF to give 52% deprotected product, which was stirred with TsOH.H2O in MeOH to give 74% (R)-2-[2-(4-oxazol-4-ylphenoxy)ethylamino]-1-pyridin-3-ylethanol tosylate.

IT **591214-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolylphenoxyethylaminopyridinylethanol tosylate as a β3-adrenergic receptor agonist)

RN 591214-75-4 CAPLUS
CN Pyridine, 3-[(1R)-2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696869 CAPLUS
DOCUMENT NUMBER: 139:230762
TITLE: Process for preparation of (R)-2-[2-(4-azolylphenoxy)ethylamino]-1-pyridin-3-ylethanols via fermentation of 2-bromo-1-pyridin-3-ylethanone to (R)-2-bromo-1-pyridin-3-ylethanol using Absidia cylindrospora ATCC 22751.
INVENTOR(S): Chambers, Robert James; Dugger, Robert Wayne; Kang, Ming; Tao, Yong; Wong, John Wing
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003072547 | A1 | 20030904 | WO 2003-IB561 | 20030217 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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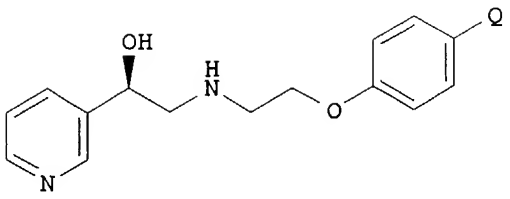
| US 2003199046 | A1 | 20031023 | US 2003-370793 | 20030220 |
| US 6689888 | B2 | 20040210 | | |
| US 2004077871 | A1 | 20040422 | US 2003-682762 | 20031009 |
| PRIORITY APPLN. INFO.: | | | US 2002-360286P | P 20020227 |
| | | | US 2003-370793 | A3 20030220 |

OTHER SOURCE(S): CASREACT 139:230762; MARPAT 139:230762
GI



I

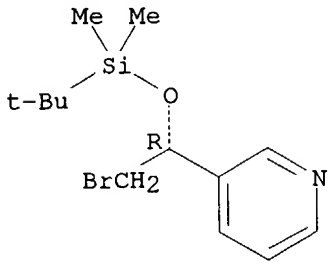
AB Title compds. (I; Q = oxazolyl, pyrazolyl, thiazolyl) were prepared by (1) reduction of 2-bromo-1-pyridin-3-ylethanone using Absidia cylindrospora ATCC 22751 to give (R)-2-bromo-1-pyridin-3-ylethanol, (2) protection of the latter, (3) coupling of the O-protected derivative with H2NCH2CH2C6H4Q-4, and (4) deprotection. Thus, 2-bromo-1-pyridin-3-ylethanone hydrobromide was contacted with cultures of Absidia cylindrospora ATCC 22751 to give 9.6% (R)-2-bromo-1-pyridin-3-ylethanol in >91.2% enantiomeric excess. The latter was silylated with tert-butyldimethylsilyl chloride (58%) and the product was heated with 2-(4-oxazol-4-ylphenoxy)ethylamine and diisopropylethylamine in Me2SO at about 90° for about 18 h to give 56% (R)-(2-tert-butyldimethylsilanoxy-2-pyridin-3-ylethyl)[2-(4-oxazol-4-ylphenoxy)ethyl]amine. Deprotection with Bu4NF in THF gave 52% (R)-2-[2-(4-oxazol-4-ylphenoxy)ethylamino]-1-pyridin-3-ylethanol in >99.9% excess.

IT **591214-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of azolylphenoxyethylaminopyridinylethanols via fermentation of pyridinylbromoethanone to bromopyridinylethanol using Absidia cylindrospora ATCC 22751)

RN 591214-75-4 CAPLUS

CN Pyridine, 3-[(1R)-2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:696544 CAPLUS
DOCUMENT NUMBER: 139:219332

TITLE: preparation of (oxazolylphenoxyethylamino)pyridinethanol for treatment of β3-adrenergic receptor-mediated diseases
INVENTOR(S): Krzyaniak, Joseph F.; Lafontaine, Jennifer A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 13 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| US 2003166686 | A1 | 20030904 | US 2003-373473 | | 20030225 |
| US 6689800 | B2 | 20040210 | | | |
| US 2003199556 | A1 | 20031023 | US 2003-373492 | | 20030225 |
| | | | US 2002-360252P | P | 20020227 |

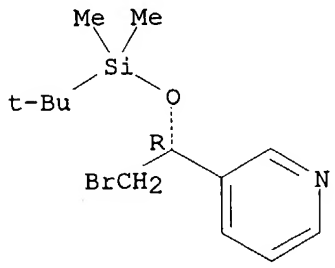
PRIORITY APPLN. INFO.:

AB The present invention provides (R)-2-(2-(4-oxazol-4-yl-phenoxy)ethylamino)-1-pyridin-3-ylethanol tosylate salt (I), the monohydrate of such salt, processes useful in the preparation of these compds., pharmaceutical compns. comprising them, and methods of treating β3-adrenergic receptor-mediated diseases, conditions, and disorders by using theses compds. Thus, (R)-2-(2-(4-oxazol-4-yl-phenoxy)ethylamino)-1-pyridin-3-ylethanol in MeOH was treated with p-toluenesulfonic acid monohydrate to give I.

IT **591214-75-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (oxazolylphenoxyethylamino)pyridinethanol for treatment of β3-adrenergic receptor-mediated diseases)

RN 591214-75-4 CAPLUS
CN Pyridine, 3-[(1R)-2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L7 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:671909 CAPLUS
DOCUMENT NUMBER: 137:201144
TITLE: Sulfamide derivatives useful as β3 agonists
INVENTOR(S): Dow, Robert Lee; Paight, Ernest Sidney, Jr.
PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 41 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1236723 | A1 | 20020904 | EP 2002-251221 | 20020222 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2002326983 | A2 | 20021115 | JP 2002-51109 | 20020227 |
| US 2002128247 | A1 | 20020912 | US 2002-86588 | 20020228 |
| BR 2002000626 | A | 20030715 | BR 2002-626 | 20020301 |
| | | | US 2001-272681P P | 20010301 |

PRIORITY APPLN. INFO.:
OTHER SOURCE(S): MARPAT 137:201144
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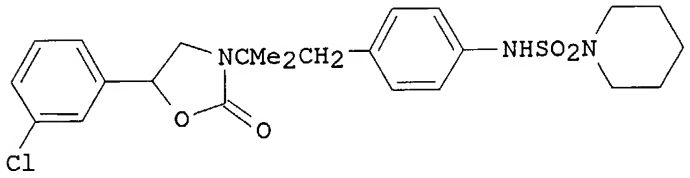


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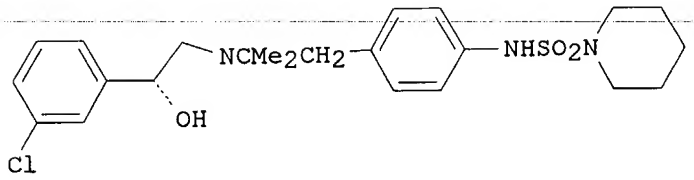



II

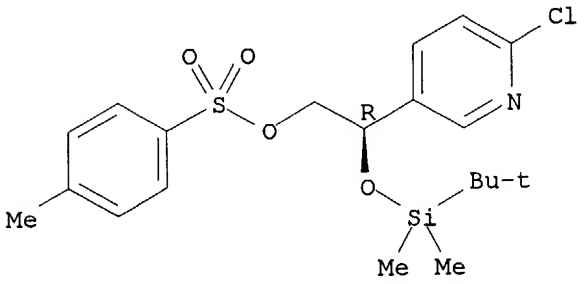
AB Sulfamides ROCHArCH2NR1CR2R3CH2XC6H4NR5SO2NR6R7 [Ar = (un)substituted aryl, heteroaryl; R = H, protective group; R1 = H, alkyl, protective group; RR1 = bond; R2, R3, R5 = H, alkyl; R6, R7 = h, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; NR6R7 = cyclic amino; X = bond, O, S, S(O), SO2, (un)substituted NH; in which the benzene ring may be further substituted by halogen, CN, (un)substituted alkyl, alkoxy] were prepared for use in the treatment of diseases dependent on the signaling pathways associated with β-adrenergic receptors, such as obesity, diabetes, hypertension, gastrointestinal hypo- or hyper-motility and cardiovascular diseases. Thus, 4-O2NC6H4CH2CMe2NH2 was treated with (R)-3-chlorostyrene oxide, cyclized to the nitrophenyloxazolidinone, the nitro group reduced to amine, and treated with 1-piperidinesulfamoyl chloride to give the oxazolidine I which was treated with KOH to give the title compound II. All the products showed selective β3-adrenergic activity.

IT **364080-73-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of sulfamides with selective β3 agonist activity)

Searcher : Shears 571-272-2528

RN 364080-73-9 CAPLUS
CN 3-Pyridineethanol, 6-chloro-β-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



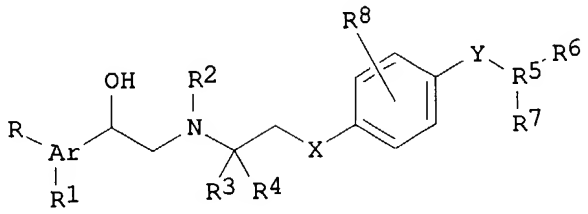

L7 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:314938 CAPLUS
DOCUMENT NUMBER: 136:340674
TITLE: Alpha-aryl ethanolamines and their use as beta-3 adrenergic receptor agonists, for treatment of diseases and disorders, for increasing lean meat content in animals, and for use in combination with other antiobesity agents
INVENTOR(S): Day, Robert Francis; Lafontaine, Jennifer Anne
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 101 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002032897 | A1 | 20020425 | WO 2001-IB1847 | 20011004 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001092161 | A5 | 20020429 | AU 2001-92161 | 20011004 |
| BR 2001014836 | A | 20030701 | BR 2001-14836 | 20011004 |
| EP 1326861 | A1 | 20030716 | EP 2001-972390 | 20011004 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| EE 200300191 | A | 20031015 | EE 2003-191 | 20011004 |
| JP 2004511555 | T2 | 20040415 | JP 2002-536279 | 20011004 |
| US 2002052392 | A1 | 20020502 | US 2001-981551 | 20011017 |

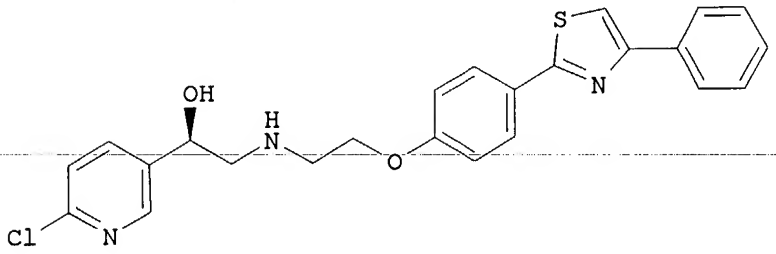
| | | | | | |
|---|---|---|---|---|---|
| US 6566377 | B2 | 20030520 | | | |
| US 2003203913 | A1 | 20031030 | US 2003-379976 | | 20030305 |
| US 6706743 | B2 | 20040316 | | | |
| BG 107652 | A | 20031128 | BG 2003-107652 | | 20030320 |
| NO 2003001573 | A | 20030416 | NO 2003-1573 | | 20030408 |
| HR 2003000297 | A1 | 20030831 | HR 2003-297 | | 20030415 |
| PRIORITY APPLN. INFO.: | | | US 2000-242274P | P | 20001020 |
| | | | WO 2001-IB1847 | W | 20011004 |
| | | | US 2001-981551 | A3 | 20011017 |

OTHER SOURCE(S): MARPAT 136:340674


GI



I



II


AB The invention provides β3-adrenergic receptor agonists (no data) of structural formula I [wherein Ar = pyridyl, oxazolyl, thiazolyl, or Ph; R = H, OH, oxo, halo, CF3, alkyl, alkoxy, cycloalkyl, NH2 or certain derivs., sulfonyl groups; R1 = H, alkyl, halo, alkoxy, OH; R2, R3, R4 = H, alkyl; R5 = 5- or 6-membered heterocycle with 1-4 N/O/S atoms; R6, R7 = H, halo, cyano, oxo, acyl, CO2H or derivs., OH, NH2 or derivs., (un)substituted alkyl, etc.; R8 = H, alkyl, halo; X = direct bond or O; Y = direct bond, alkylene, OCH2, CH2O, or O; with provisos], as well as the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compds., stereoisomers, and prodrugs. The invention further provides intermediates useful in the preparation of I, as well as therapeutic combinations of I and/or their stereoisomers/prodrugs/salts, with (other) anti-obesity agents. Over 60 invention compds. and 40 intermediates are named individually in claims. Exemplary prepns. of many intermediates and several invention compds. are given. For instance, reaction of (R)-2-chloro-5-oxiranylpyridine with 2-[4-(4-phenylthiazol-2-yl)phenoxy]ethylamine (preparation given) in EtOH at 80° gave 50% title compound (R)-II.

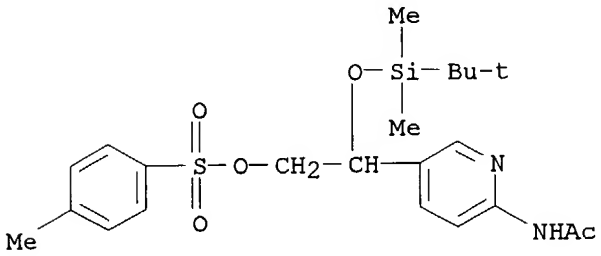
IT **416860-25-8**, Toluene-4-sulfonic acid 2-(6-(acetylamino)pyridin-3-yl)-2-[(tert-butyldimethylsilanyl)oxy]ethyl ester


Searcher : Shears 571-272-2528

RL: RCT (Reactant); RACT (Reactant or reagent)
(precursor; preparation of α-arylethanolamines as β3-adrenergic receptor agonists, useful as drugs and agents for increasing lean meat content in animals)

RN 416860-25-8 CAPLUS

CN Acetamide, N-[5-[1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:729769 CAPLUS

DOCUMENT NUMBER: 135:288694

TITLE: Processes for preparing substituted pyridines, useful as intermediates for β-adrenergic receptor agonists

INVENTOR(S): Dow, Robert Lee; Schneider, Steven Roy

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 1138685 | A2 | 20011004 | EP 2001-302635 | 20010321 |
| EP 1138685 | A3 | 20030402 | | |
| EP 1138685 | B1 | 20040519 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AT 267204 | E | 20040615 | AT 2001-302635 | 20010321 |
| US 2002077478 | A1 | 20020620 | US 2001-820137 | 20010328 |
| US 6518431 | B2 | 20030211 | | |
| ZA 2001002538 | A | 20020930 | ZA 2001-2538 | 20010328 |
| CA 2342571 | AA | 20010930 | CA 2001-2342571 | 20010329 |
| BR 2001001280 | A | 20011106 | BR 2001-1280 | 20010330 |
| JP 2001316393 | A2 | 20011113 | JP 2001-100321 | 20010330 |
| RU 2223956 | C2 | 20040220 | RU 2001-108594 | 20010330 |
| CN 1320596 | A | 20011107 | CN 2001-112348 | 20010402 |
| US 2003114670 | A1 | 20030619 | US 2002-317720 | 20021212 |
| US 6670480 | B2 | 20031230 | | |

US 2004133005 A1 20040708 US 2003-684146 20031010
PRIORITY APPLN. INFO.: US 2000-193772P P 20000331
US 2001-820137 A3 20010328
US 2002-317720 A3 20021212
OTHER SOURCE(S): CASREACT 135:288694; MARPAT 135:288694
GI

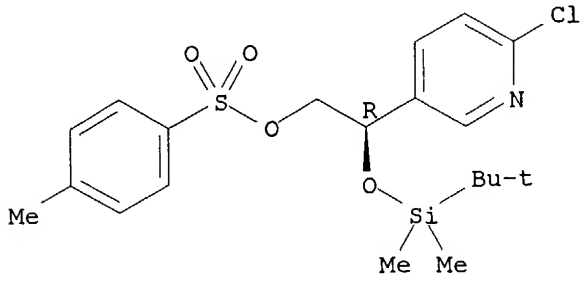
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Several processes for preparing various pyridine derivs. are claimed. The products are used as intermediates in the synthesis of known β-adrenergic receptor agonists. In particular, the halide and sulfonate ester intermediates I are prepared, and are used in the synthesis of the amino alcs. II [wherein n = 0-3; R1 = H, halo; R2 = H, halo, CF3, cyano, SR4, OR4, SO2R4, OCOR5, (un)substituted alkyl; R3 = tetrahydrofuranyl, tetrahydropyranyl, or silyl protecting group; X = halo, OSO3Me, OSO2Ph, OSO2C6H4Me-p, OSO2C6H4NO2-m, OSO2C6H4NO2-p; R4, R5 = H, (un)substituted alkyl, alkoxy, (hetero)cycloalkyl, (hetero)aryl; or R5 = N(R4)2; R6 = COR7 or CO2R7; R7 = alkyl; Y = sidechains containing specified benzene, indene, benzofuran, indole, benzimidazole, and analogous aromatic nuclei]. For example, 2-chloro-5-cyanopyridine was reduced with Dibal-H to give the 5-aldehyde, which was methylenated with Ph3P+MeBr- and KOBu-tert to give 2-chloro-5-vinylpyridine. The vinyl compound was dihydroxylated with AD-mix-β® to give the (R)-diol, which was O-tosylated with p-MeC6H4SO2Cl and then silylated with tert-BuSiMe2Cl to give the intermediate III. Coupling of III with 4-nitrophenethylamine, protection with di-tert-Bu dicarbonate, and reduction of the nitro group with concomitant dechlorination gave the final, silylated intermediate IV.

IT **364080-73-9P 364080-77-3P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; processes for preparing substituted pyridines useful as intermediates for β-adrenergic receptor agonists)

RN 364080-73-9 CAPLUS
CN 3-Pyridineethanol, 6-chloro-β-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (βR)- (9CI) (CA INDEX NAME)

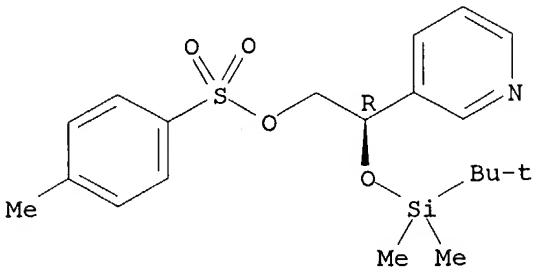
Absolute stereochemistry.




RN 364080-77-3 CAPLUS

CN 3-Pyridineethanol, β-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-, 4-methylbenzenesulfonate (ester), (βR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



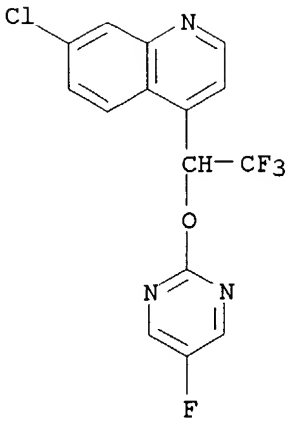

L7 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:669980 CAPLUS
DOCUMENT NUMBER: 135:357834
TITLE: Synthesis and fungicidal activity of a series of novel aryloxylepidines
AUTHOR(S): Kirby, Neil V.; Daeuble, John F.; Davis, L. Navelle; Hannum, Anna C.; Hellwig, Karin; Lawler, Lori K.; Parker, Marshall H.; Pieczko, Mary E.
CORPORATE SOURCE: Dow AgroSciences LLC, Indianapolis, IN, 46268-1054, USA
SOURCE: Pest Management Science (2001), 57(9), 844-851
CODEN: PMSCFC; ISSN: 1526-498X
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:357834

AB A series of novel (hetero)aryloxylepidine derivs. was devised as hybrid structures of the phenoxyquinoline and phenethoxyquin(az)oline fungicides. Synthesis of these targets required the development of several new routes to derivatized 4-hydroxymethylquinolines, and subsequent coupling with phenols or haloarenes. The aryloxylepidines generally showed moderate broad-spectrum fungicidal activity across several diseases of cereals. Substitution of the quinoline ring with chlorine at the 7- and/or 5-positions gave mols. with high levels of protectant activity against Erysiphe graminis f sp tritici (powdery mildew of wheat), but this did not improve the level of fungicidal activity against other diseases. In vitro activity against mitochondrial electron transport complex I (MET) derived from Ustilago maydis showed that 8-fluorolepidine analogs were moderately active at this target site, while the more fungicidally active 7- and 5,7-substituted compds. were inactive. This indicates that MET is not the primary target of these highly active powdery mildewicides.

IT **203261-23-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and fungicidal activity of aryloxylepidines)

RN 203261-23-8 CAPLUS
CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

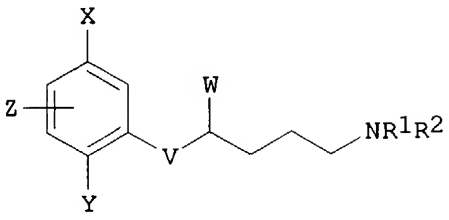
L7 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:636031 CAPLUS
DOCUMENT NUMBER: 135:210828
TITLE: Preparation of novel phenylheteroalkylamines as inhibitors of nitric oxide synthase
INVENTOR(S): Birkinshaw, Tim; Cheshire, David; Mete, Antonio
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001062713 | A1 | 20010830 | WO 2001-SE370 | 20010220 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 2001034313 | A5 | 20010903 | AU 2001-34313 | 20010220 |
| EP 1263714 | A1 | 20021211 | EP 2001-906490 | 20010220 |
| EP 1263714 | B1 | 20040428 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003523992 | T2 | 20030812 | JP 2001-561723 | 20010220 |
| AT 265422 | E | 20040515 | AT 2001-906490 | 20010220 |
| US 2003105161 | A1 | 20030605 | US 2002-204815 | 20020822 |
| US 6743939 | B2 | 20040601 | | |
| PRIORITY APPLN. INFO.: | | | GB 2000-4149 A | 20000223 |

WO 2001-SE370 W 20010220

OTHER SOURCE(S): MARPAT 135:210828

GI



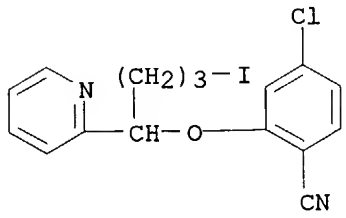

I

AB The title compds. [I; X, Y = alkyl, alkoxy, halo, etc.; Z = H, F; V = O, SOn, NR3; W = alkyl, alkenyl, Ph, etc.; R1, R2 = H, alkyl, cycloalkyl, etc.; NR1R2 = (un)substituted 4-8 membered saturated azacyclic ring optionally incorporating one further heteroatom selected from O, S or NR8, 5-membered aromatic azacyclic ring optionally incorporating one further N atom; R3 = H, alkyl; R8 = H, alkyl, etc.; n = 0-2] and their pharmaceutically acceptable salts which are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain, were prepared E.g., a 4-step synthesis of (1R)-I.oxalate [X = Cl; Y = CN; Z = H; V = O; W = Ph; R1 = H; R2 = Me] was given. The exemplified compds. I (with the exception of one) showed IC50 of < 40 μM against nitric oxide synthase.

IT **357443-84-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel phenylheteroalkylamines as inhibitors of nitric oxide synthase)

RN 357443-84-6 CAPLUS

CN Benzonitrile, 4-chloro-2-[4-iodo-1-(2-pyridinyl)butoxy]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2000:639179 CAPLUS

DOCUMENT NUMBER: 133:222605

TITLE: Preparation of 4-substituted quinolines as plant fungicides.

INVENTOR(S): Daeuble, John; Davis, L. Navell; Hellwig, Karin; Kirby, Neil; Parker, Marshall H.; Pieczko, Mary; Thomason, Lori K.

PATENT ASSIGNEE(S): USA

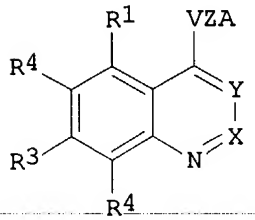
SOURCE: U.S., 13 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6117884 | A | 20000912 | US 1997-904282 | 19970731 |
| PRIORITY APPLN. INFO.: | | | US 1997-904282 | 19970731 |

OTHER SOURCE(S): MARPAT 133:222605

GI



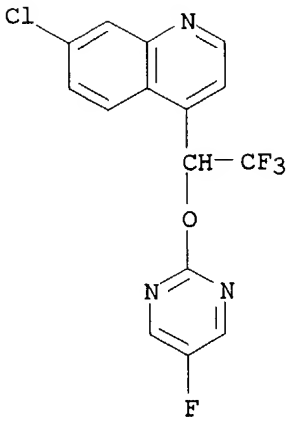

I

AB Title compds. [I; X = CR5; Y = CR51; Z = O, S, SO, SO2, NR6, CR7R8; R1-R4 = H, OH, NO2, halo, iodo, alkyl, alkoxy, haloalkyl, etc.; V = CR7R8; A = (unsatd.) (substituted) (heteroatom-interrupted) hydrocarbyl, cycloalkyl, Ph, furyl, pyridyl, pyrimidinyl, naphthyl, pyrazolyl, etc.; R5 = H, Cl, Me; R51 = H, Cl, Br; R6 = H, alkyl, acyl; R7, R8 = H, alkyl, alkenyl, acyl, cyano, OH; R7R8C = carbocyclyl], were prepared Thus, 4-bromomethyl-8-chloroquinoline was stirred overnight with NaH and 4-fluorophenol in THF to give 51.2% 4-[(4-fluorophenoxy)methyl]-8-chloroquinoline. Several I at 6.25-400 ppm gave 50-100% control of Erysiphe graminis on wheat seedlings.

IT **203261-23-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinolines as plant fungicides)

RN 203261-23-8 CAPLUS

CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2000:259769 CAPLUS
DOCUMENT NUMBER: 132:279118
TITLE: Preparation of 2-aminopyridines as drug intermediates
INVENTOR(S): Devries, Keith Michael; Raggon, Jeffrey William; Shanker, Ravi Mysore; Vanderplas, Brian Clement; Urban, Frank John
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 42 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| EP 994105 | A2 | 20000419 | EP 1999-307987 | | 19991011 |
| EP 994105 | A3 | 20000524 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| US 6090942 | A | 20000718 | US 1999-408998 | | 19990929 |
| CA 2285914 | C | 20030603 | CA 1999-2285914 | | 19991013 |
| TR 9902565 | A2 | 20000522 | TR 1999-9902565 | | 19991014 |
| MX 9909446 | A | 20000531 | MX 1999-9446 | | 19991014 |
| AU 9954009 | A1 | 20000622 | AU 1999-54009 | | 19991014 |
| ZA 9906499 | A | 20010417 | ZA 1999-6499 | | 19991014 |
| JP 2000128864 | A2 | 20000509 | JP 1999-293733 | | 19991015 |
| CN 1256276 | A | 20000614 | CN 1999-125439 | | 19991015 |
| BR 9904689 | A | 20001114 | BR 1999-4689 | | 19991015 |
| US 6124457 | A | 20000926 | US 2000-488245 | | 20000120 |
| PRIORITY APPLN. INFO.: | | | US 1998-104375P | P | 19981015 |
| | | | US 1999-145460P | P | 19990723 |
| | | | US 1999-408998 | A3 | 19990929 |

OTHER SOURCE(S): CASREACT 132:279118; MARPAT 132:279118

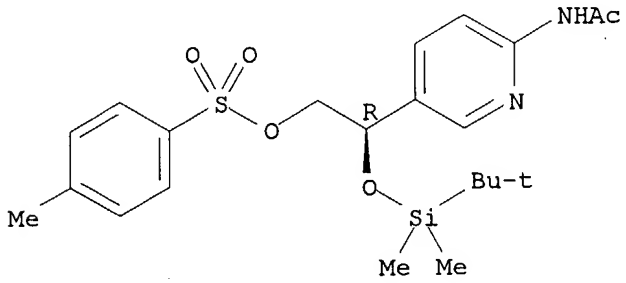
AB R3NHZCH(OR2)CH2R1 (Z = pyridine-2,5-diyl throughout)[R1 = halo, OSO2Me, OSO2Ph, etc.; R2 = tetrahydrofuranyl, -pyranyl, silyl protecting group; R3 = (un)substituted alkanoyl or -Bz] were prepared as intermediates for β3-adrenergic agonists. Thus, N-(5-vinyl-2-pyridinyl)acetamide (preparation given) was asym. dihydroxylated and the mono-tosylated product O-protected to give (R)-AcNHZCH(OSiMe2CMe3)CH2OSO2C6H4Me-4. Conversion of the latter to aforementioned β3-adrenergic agonists was given.

IT **263898-20-0P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-aminopyridines as drug intermediates)

RN 263898-20-0 CAPLUS

CN Acetamide, N-[5-[(1R)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-2-[[(4-methylphenyl)sulfonyl]oxy]ethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

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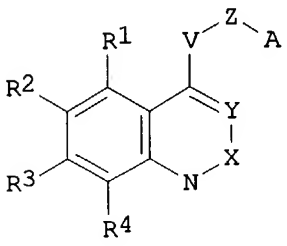
L7 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:112347 CAPLUS
DOCUMENT NUMBER: 128:180342
TITLE: Preparation of 4-substituted quinolines having fungicidal activity
INVENTOR(S): Daeuble, John; Davis, L. Navell; Hellwig, Karin; Kirby, Neil; Parker, Marshall H.; Pieczko, Mary; Thomason, Lori K.
PATENT ASSIGNEE(S): DowElanco, USA
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9805645 | A1 | 19980212 | WO 1997-US13090 | 19970731 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, EE, GE, HU, IL, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, YU | | | | |
| RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| AU 9738948 | A1 | 19980225 | AU 1997-38948 | 19970731 |
| AU 723758 | B2 | 20000907 | | |

| | | | | | |
|---|---|---|---|---|---|
| EP 925282 | A1 | 19990630 | EP 1997-936228 | | 19970731 |
| R: DE, DK, ES, FR, GB, IT, NL | | | | | |
| BR 9711110 | A | 19990817 | BR 1997-11110 | | 19970731 |
| CN 1228084 | A | 19990908 | CN 1997-196937 | | 19970731 |
| JP 2001508029 | T2 | 20010619 | JP 1998-507989 | | 19970731 |
| PRIORITY APPLN. INFO.: | | | US 1996-22907P | P | 19960801 |
| | | | WO 1997-US13090 | W | 19970731 |

OTHER SOURCE(S): MARPAT 128:180342

GI



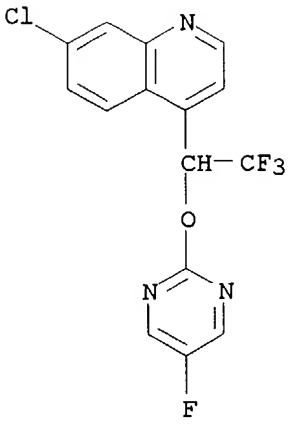
I

AB The title compds. [I; X = CR5 (wherein R5 = H, Cl, Me); Y = CR5 (R5 = H, Cl, Br); Z = O, S, SO, SO2, NR6 (R6 = H, C1-4 alkyl, C1-4 acyl, etc.); V = CR7R8 (R7, R8 = H, C1-4 alkyl, C1-4 alkenyl, etc.; R7R8 form a carbocyclic ring containing 4-6 carbon atoms); A = C1-4 (un)substituted (un)saturated alkyl, C3-8 cycloalkyl, cycloalkenyl, (un)substituted Ph, etc.; R1-R4 = H, OH, NO2, etc.], useful as plant fungicides, were prepared Thus, treatment of 4-hydroxymethyl-7-chloroquinoline with NaH in THF followed by addition of 2-chloro-3-trifluoromethylpyridine afforded I [X = Y = CH; V = CH2; Z = O; A = 3-trifluoromethyl-2-pyridyl; R3 = Cl; R1 = R2 = R4= H] which showed 50-100% control against wheat powdery mildew at 100 ppm.

IT **203261-23-8P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 4-substituted quinolines having fungicidal activity)

RN 203261-23-8 CAPLUS

CN Quinoline, 7-chloro-4-[2,2,2-trifluoro-1-[(5-fluoro-2-pyrimidinyl)oxy]ethyl]- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

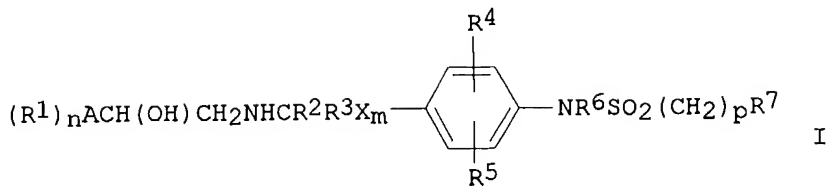
L7 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1998:31145 CAPLUS
DOCUMENT NUMBER: 128:102082
TITLE: Preparation of substituted sulfonamides as selective β-3 agonists for the treatment of diabetes and obesity
INVENTOR(S): Fisher, Michael H.; Naylor, Elizabeth M.; Parmee, Emma R.; Shih, Thomas; Ok, Hyun; Weber, Ann E.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 30 pp., Cont.-in-part of U.S. 5,561,142.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5705515 | A | 19980106 | US 1996-684901 | 19960725 |
| US 5561142 | A | 19961001 | US 1995-445630 | 19950522 |
| CA 2261167 | AA | 19980205 | CA 1997-2261167 | 19970721 |
| WO 9804526 | A1 | 19980205 | WO 1997-US11999 | 19970721 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9737232 | A1 | 19980220 | AU 1997-37232 | 19970721 |
| EP 915847 | A1 | 19990519 | EP 1997-934091 | 19970721 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000516593 | T2 | 20001212 | JP 1998-508828 | 19970721 |
| PRIORITY APPLN. INFO.: | | | US 1994-233166 | B2 19940426 |
| | | | US 1995-404565 | B2 19950321 |

Searcher : Shears 571-272-2528

US 1995-445630 A2 19950522
US 1996-684901 A 19960725
WO 1997-US11999 W 19970721

OTHER SOURCE(S): MARPAT 128:102082
GI



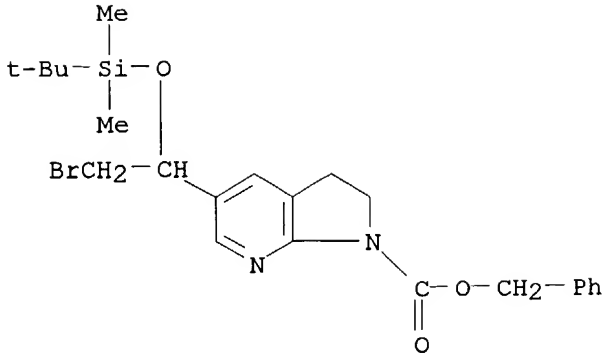

I

AB Substituted sulfonamides I [n = 0-5; m = 0, 1; p = 0-3; A = 5- or 6-membered heterocyclic ring or a fused heterocyclic ring; R1 = OH, oxo, halo, cyano, alkyl, etc.; R2, R3 = H, alkyl; X = CH2, CH2CH2, CH:CH, CH2O; R4, R5 = H, alkyl, halo, etc.; R6 = H, alkyl; R7 = Z(R1α)n with R1α = R1, cycloalkyl, substituted Ph, heterocyclyl and Z = Ph, naphthyl, etc.], selective β3 adrenergic receptor agonists with very little β1 and β2 adrenergic receptor activity (no data), were prepared The compds. thus have potent activity in the treatment of Type II diabetes and obesity. The compds. are prepared by coupling an aminoalkylphenyl-sulfonamide with an appropriately substituted epoxide. E.g., reaction of (3-methyl-5-isoxazolyl)oxirane and 4-O2NC6H4CH2CH2NH2, followed by Boc protection, gave N-[2-[4-(aminophenyl)]ethyl]-2-hydroxy-2-(3-methylisoxazol-5-yl)ethylcarbamic acid 1,1-dimethylethyl ester. The latter was reacted with 5-(1-(4-octylthiazol-2-yl)indolinesulfonyl chloride, followed by deprotection, to give N-[4-[2-[[2-hydroxy-2-methylisoxazol-4-yl)ethyl]amino]ethyl]phenyl]-1-(4-octylthiazol-2-yl)-5-indolinesulfonamide.

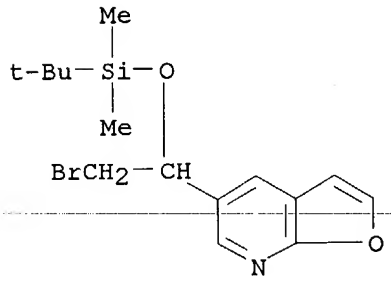
IT **201470-61-3P 201470-74-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted sulfonamides as selective β-3 agonists for the treatment of diabetes and obesity)

RN 201470-61-3 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine-1-carboxylic acid, 5-[2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-2,3-dihydro-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 201470-74-8 CAPLUS
CN Furo[2,3-b]pyridine, 5-[2-bromo-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]- (9CI) (CA INDEX NAME)



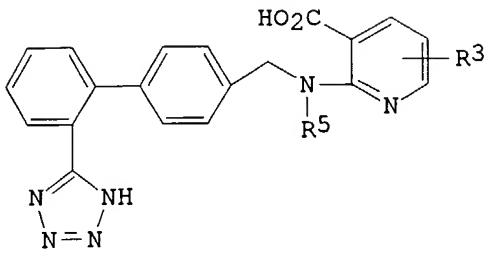
REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:557652 CAPLUS
DOCUMENT NUMBER: 121:157652
TITLE: [[(Tetrazolylbiphenylyl)methyl]amino]pyridinecarboxylates as Angiotensin II Receptor Antagonists
INVENTOR(S): Winn, Martin; De, Biswanath; Zydowsky, Thomas M.; Kerkman, Daniel J.; Debernardis, John F.; Rosenberg, Saul H.; Shiosaki, Kazumi; Basha, Fatima Z.; Tasker, Andrew S.; et al.
PATENT ASSIGNEE(S): Abbott laboratories, USA
SOURCE: U.S., 98 pp. Cont.-in-part of U.S. Ser. No. 744,241.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 4
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5250548 | A | 19931005 | US 1992-844351 | 19920302 |

| | | | | | |
|---|---|---|---|---|---|
| CA 2050723 | AA | 19920311 | CA 1991-2050723 | | 19910905 |
| AU 9183744 | A1 | 19920312 | AU 1991-83744 | | 19910909 |
| AU 647174 | B2 | 19940317 | | | |
| JP 04261156 | A2 | 19920917 | JP 1991-258343 | | 19910910 |
| JP 07053551 | A2 | 19950228 | JP 1993-187412 | | 19930630 |
| PRIORITY APPLN. INFO.: | | | US 1990-580400 | B2 | 19900910 |
| | | | US 1991-744241 | A2 | 19910815 |

OTHER SOURCE(S): MARPAT 121:157652
GI

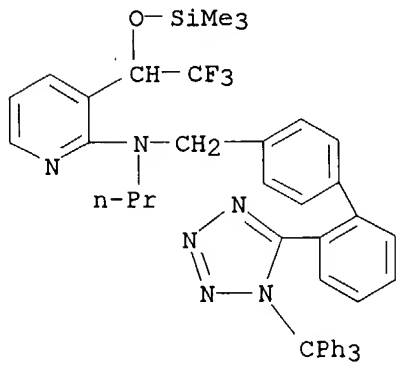


I

AB The title compds., [[(tetrazolylbiphenylyl)methyl]amino]pyridinecarboxylates I (R3 = H, alkyl, halo; R5 = alkyl) were disclosed. Pharmacol. test data for I as angiotensin receptor antagonists were reported.

IT **157361-16-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for [[(tetrazolylbiphenylyl)methyl]amino]pyridinecarboxylate)

RN 157361-16-5 CAPLUS
CN 2-Pyridinamine, N-propyl-3-[2,2,2-trifluoro-1-[(trimethylsilyl)oxy]ethyl]-N-[[2'-[1-(triphenylmethyl)-1H-tetrazol-5-yl][1,1'-biphenyl]-4-yl]methyl]-(9CI) (CA INDEX NAME)



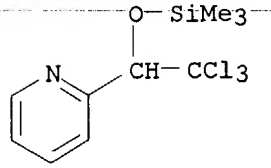
L7 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1982:68256 CAPLUS
DOCUMENT NUMBER: 96:68256

TITLE: New syntheses of trichloromethyl functional alcohols. Studies of their tranquilizing properties
AUTHOR(S): Deleris, Gerard; Dunogues, Jacques; Babin, Pierre; Calas, Raymond; Bardone, Marie Claude; Guyonnet, Jean Claude
CORPORATE SOURCE: Lab. Chim. Org. Composes Org. Silicium Etain, CNRS, Talence, 33405, Fr.
SOURCE: European Journal of Medicinal Chemistry (1981), 16(6), 533-7
CODEN: EJMCA5; ISSN: 0009-4374
DOCUMENT TYPE: Journal
LANGUAGE: French
OTHER SOURCE(S): CASREACT 96:68256

AB CCl3CHROH (I, R = BuC.tplbond.C, Me3SiC.tplbond.C, Me3SiCH2C.tplbond.C, PhC.tplbond.C, 3-pyridylethynyl, EtO2CCH2, Et2NCOCH2, 2-pyridyl) were prepared by treating RSiMe3 with chloral, and methanolysis of Cl3CCHROSiMe3. I(R = Et2NCOCH2, 2-pyridyl) had tranquilizing activity comparable to that of meprobamate, the pyridine derivative being free of convulsant side-effects.

IT **80673-05-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and desilylation of)

RN 80673-05-8 CAPLUS
CN Pyridine, 2-[2,2,2-trichloro-1-[(trimethylsilyl)oxy]ethyl]- (9CI) (CA INDEX NAME)




FILE 'CAOLD' ENTERED AT 11:43:55 ON 10 AUG 2004
L8 0 S L6

FILE 'USPATFULL' ENTERED AT 11:44:01 ON 10 AUG 2004
L9 15 S L6

L9 ANSWER 1 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2004:172841 USPATFULL
TITLE: Process for preparing substituted pyridnes
INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES
Schneider, Steven R., Stonington, CT, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2004133005 | A1 | 20040708 |
| APPLICATION INFO.: | US 2003-684146 | A1 | 20031010 (10) |

RELATED APPLN. INFO.: Division of Ser. No. US 2002-317720, filed on 12 Dec 2002, GRANTED, Pat. No. US 6670480 Division of Ser. No. US 2001-820137, filed on 28 Mar 2001, GRANTED, Pat. No.

US 6518431

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-193772P | 20000331 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 48
EXEMPLARY CLAIM: 1
LINE COUNT: 2381
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for preparing a compound of the formula ##STR1##

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as an intermediate in the synthesis of β-adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 2 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2004:102001 USPATFULL
TITLE: Processes and intermediates useful in preparing beta-3-adrenergic receptor agonists
INVENTOR(S): Chambers, Robert J., Mystic, CT, UNITED STATES
Dugger, Robert W., Stonington, CT, UNITED STATES
Kang, Ming, Salem, CT, UNITED STATES
Tao, Yong, Salem, CT, UNITED STATES
Wong, John W., East Lyme, CT, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2004077871 | A1 | 20040422 |
| APPLICATION INFO.: | US 2003-682762 | A1 | 20031009 (10) |

RELATED APPLN. INFO.: Division of Ser. No. US 2003-370793, filed on 20 Feb 2003, GRANTED, Pat. No. US 6689888

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2002-360286P | 20020227 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 714
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides processes useful in the preparation of certain β.sub.3-adrenergic receptor agonists of the structural formula ##STR1##

the pharmaceutically acceptable salts thereof, and the hydrates of said pharmaceutically acceptable salts, wherein HET is as described herein. The invention further provides intermediates useful in the preparation

of such agonists, and processes useful in the production of such intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 3 OF 15 USPATFULL on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2003:289154 USPATFULL |
| TITLE: | Beta3 adrenergic receptor agonists and uses thereof |
| INVENTOR(S): | Day, Robert F., Groton, CT, UNITED STATES<br>Lafontaine, Jennifer A., San Diego, CA, UNITED STATES |
| PATENT ASSIGNEE(S): | Pfizer Inc. (U.S. corporation) |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2003203913 | A1 | 20031030 |
| | US 6706743 | B2 | 20040316 |
| APPLICATION INFO.: | US 2003-379976 | A1 | 20030305 (10) |

RELATED APPLN. INFO.: Division of Ser. No. US 2001-981551, filed on 17 Oct 2001, GRANTED, Pat. No. US 6566377

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-242274P | 20001020 (60) |

| | |
|---|---|
| DOCUMENT TYPE: | Utility |
| FILE SEGMENT: | APPLICATION |
| LEGAL REPRESENTATIVE: | PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340 |
| NUMBER OF CLAIMS: | 22 |
| EXEMPLARY CLAIM: | 1 |
| LINE COUNT: | 3395 |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention provides β.sub.3 adrenergic receptor agonists of structural Formula (I), ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, wherein Ar, R, R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7, R.sub.8, X, and Y, are as defined herein.

The invention further provides intermediates useful in the preparation of the compounds of Formula (I), to combinations of the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, with anti-obesity agents; to pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, or pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, and anti-obesity agents; and methods of treating β.sub.3 adrenergic receptor-mediated diseases, conditions, or disorders in a mammal which methods comprise administering to the mammal an effective amount of a compound of Formula (I), a stereoisomer or prodrug thereof, or a pharmaceutical composition thereof; or a combination of a compound of Formula (I), a pharmaceutically acceptable salt of the compound, stereoisomer, or prodrug, and an anti-obesity

agent, or a pharmaceutical composition thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 4 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2003:282703 USPATFULL
TITLE: Processes and intermediates useful in preparing beta3-adrenergic receptor agonists
INVENTOR(S): Chambers, Robert J., Mystic, CT, UNITED STATES
Dugger, Robert W., Stonington, CT, UNITED STATES
Kang, Ming, Salem, CT, UNITED STATES
Tao, Yong, Salem, CT, UNITED STATES
Wong, John W., East Lyme, CT, UNITED STATES

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2003199046 | A1 | 20031023 | |
| | US 6689888 | B2 | 20040210 | |
| APPLICATION INFO.: | US 2003-370793 | A1 | 20030220 | (10) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2002-360286P | 20020227 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 11
EXEMPLARY CLAIM: 1
LINE COUNT: 714
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The present invention provides processes useful in the preparation of certain β.sub.3-adrenergic receptor agonists of the structural formula ##STR1##

the pharmaceutically acceptable salts thereof, and the hydrates of said pharmaceutically acceptable salts, wherein HET is as described herein. The invention further provides intermediates useful in the preparation of such agonists, and processes useful in the production of such intermediates.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 5 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2003:238528 USPATFULL
TITLE: Beta3 adrenergic receptor agonist crystal forms, processes for the production thereof, and uses thereof
INVENTOR(S): Krzyaniak, Joseph F., Pawcatuck, CT, UNITED STATES
Lafontaine, Jennifer A., San Diego, CA, UNITED STATES
PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2003166686 | A1 | 20030904 | |
| | US 6689800 | B2 | 20040210 | |
| APPLICATION INFO.: | US 2003-373473 | A1 | 20030225 | (10) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2002-360252P | 20020227 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 12
EXEMPLARY CLAIM: 1
LINE COUNT: 1002
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides the tosylate salt of (R)-2-(2-(4-oxazol-4-yl-phenoxy)-ethylamino)-1-pyridin-3-yl-ethanol, the monohydrate of such salt, processes useful in the preparation of such salt and such monohydrate, pharmaceutical compositions comprising such salt, or such monohydrate, methods of treating β.sub.3-adrenergic receptor-mediated diseases, conditions, and disorders in a mammal using such salt, such monohydrate, or such pharmaceutical compositions; and methods of increasing the content of lean meat in edible animals using such salt, such monohydrate, or such pharmaceutical compositions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 6 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2003:166812 USPATFULL
TITLE: Process for preparing substituted pyridines
INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES
Schneider, Steven R., Stonington, CT, UNITED STATES

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 2003114670 | A1 | 20030619 |
| | US 6670480 | B2 | 20031230 |
| APPLICATION INFO.: | US 2002-317720 | A1 | 20021212 (10) |

RELATED APPLN. INFO.: Division of Ser. No. US 2001-820137, filed on 28 Mar 2001, GRANTED, Pat. No. US 6518431

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-193772P | 20000331 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340
NUMBER OF CLAIMS: 48
EXEMPLARY CLAIM: 1
LINE COUNT: 2376
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A process for preparing a compound of the formula ##STR1##

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as an intermediate in the synthesis of β-adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 7 OF 15 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2003:153493 USPATFULL | | | |
| TITLE: | Novel phenylheteroalkylamine derivatives | | | |
| INVENTOR(S): | Birkinshaw, Tim, Leicestershire, UNITED KINGDOM | | | |
| | Cheshire, David, Leicestershire, UNITED KINGDOM | | | |
| | Mete, Antonio, Leicestershire, UNITED KINGDOM | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 2003105161 | A1 | 20030605 | |
| | US 6743939 | B2 | 20040601 | |
| APPLICATION INFO.: | US 2002-204815 | A1 | 20020822 | (10) |
| | WO 2001-SE370 | | 20010220 | |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | GB 2000-4149 | | 20000223 | |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | APPLICATION | | | |
| LEGAL REPRESENTATIVE: | FISH & RICHARDSON PC, 225 FRANKLIN ST, BOSTON, MA, 02110 | | | |
| NUMBER OF CLAIMS: | 25 | | | |
| EXEMPLARY CLAIM: | 1 | | | |
| LINE COUNT: | 2420 | | | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There are provided novel compounds of formula (I), ##STR1##

wherein R.sup.1, R.sup.2, X, Y, V, W and Z are as defined in the specification, and pharmaceutically acceptable salts thereof, and enantiomers and racemates thereof; together with processes for their preparation, compositions containing them and their use in therapy. The compounds are inhibitors of nitric oxide synthase and are thereby particularly useful in the treatment or prophylaxis of inflammatory disease and pain.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 8 OF 15 USPATFULL on STN

| | | | | |
|---|---|---|---|---|
| ACCESSION NUMBER: | 2002:236049 USPATFULL | | | |
| TITLE: | Beta3 agonists and uses thereof | | | |
| INVENTOR(S): | Dow, Robert L., Waterford, CT, UNITED STATES | | | |
| | Paight, Ernest S., Pawcatuck, CT, UNITED STATES | | | |
| | NUMBER | KIND | DATE | |
| PATENT INFORMATION: | US 2002128247 | A1 | 20020912 | |
| APPLICATION INFO.: | US 2002-86588 | A1 | 20020228 | (10) |
| | NUMBER | | DATE | |
| PRIORITY INFORMATION: | US 2001-272681P | | 20010301 | (60) |
| DOCUMENT TYPE: | Utility | | | |
| FILE SEGMENT: | APPLICATION | | | |
| LEGAL REPRESENTATIVE: | Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340 | | | |
| NUMBER OF CLAIMS: | 69 | | | |

EXEMPLARY CLAIM: 1
LINE COUNT: 3087
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB Sulfamide compounds having formula (I) are described as well as their use in the treatment of diseases dependent on the signaling pathways associated with β-adrenergic receptors, such as obesity, diabetes, hypertension, gastrointestinal hypo- or hyper-motility and cardiovascular diseases. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 9 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2002:149318 USPATFULL
TITLE: Process for preparing substituted pyridines
INVENTOR(S): Dow, Robert L., Waterford, CT, UNITED STATES
Schneider, Steven R., Stonington, CT, UNITED STATES

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2002077478 | A1 | 20020620 | |
| | US 6518431 | B2 | 20030211 | |
| APPLICATION INFO.: | US 2001-820137 | A1 | 20010328 | (9) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-193772P | 20000331 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340
NUMBER OF CLAIMS: 47
EXEMPLARY CLAIM: 1
LINE COUNT: 2383
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB A process for preparing a compound of the formula ##STR1##

wherein n, R.sup.1, R.sup.2, R.sup.3 and X are as defined above, used as an intermediate in the synthesis of P-adrenergic receptor agonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 10 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2002:99491 USPATFULL
TITLE: Bita3 adrenergic receptor agonists and uses thereof
INVENTOR(S): Day, Robert F., Groton, CT, UNITED STATES
Lafontaine, Jennifer A., Mystic, CT, UNITED STATES

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 2002052392 | A1 | 20020502 | |
| | US 6566377 | B2 | 20030520 | |
| APPLICATION INFO.: | US 2001-981551 | A1 | 20011017 | (9) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 2000-242274P | 20001020 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: Gregg C. Benson, Pfizer Inc., Patent Department, MS 4159, Eastern Point Road, Groton, CT, 06340
NUMBER OF CLAIMS: 22
EXEMPLARY CLAIM: 1
LINE COUNT: 3410
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention provides β.sub.3 adrenergic receptor agonists of structural Formula (I), ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, wherein Ar, R, R.sub.1, R.sub.2, R.sub.3, R.sub.4, R.sub.5, R.sub.6, R.sub.7, R.sub.8, X, and Y, are as defined herein.

The invention further provides intermediates useful in the preparation of the compounds of Formula (I), to combinations of the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, with anti-obesity agents; to pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, or pharmaceutical compositions comprising the compounds of Formula (I), the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers and prodrugs, and anti-obesity agents; and methods of treating β.sub.3 adrenergic receptor-mediated diseases, conditions, or disorders in a mammal which methods comprise administering to the mammal an effective amount of a compound of Formula (I), a stereoisomer or prodrug thereof, or a pharmaceutical composition thereof; or a combination of a compound of Formula (I), a pharmaceutically acceptable salt of the compound, stereoisomer, or prodrug, and an anti-obesity agent, or a pharmaceutical composition thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 11 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2000:128489 USPATFULL
TITLE: Process and intermediates for a β.sub.3 -adrenergic receptor agonist
INVENTOR(S): DeVries, Keith M., Chester, CT, United States
Raggon, Jeffrey W., Uncasville, CT, United States
Shanker, Ravi M., Groton, CT, United States
Urban, Frank J., Waterford, CT, United States
Vanderplas, Brian C., Old Lyme, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 6124457 | | 20000926 |
| APPLICATION INFO.: | US 2000-488245 | | 20000120 (9) |

RELATED APPLN. INFO.: Division of Ser. No. US 1999-408998, filed on 29 Sep 1999

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1999-145460P | 19990723 (60) |
| | US 1998-104375P | 19981015 (60) |
| DOCUMENT TYPE: | Utility | |
| FILE SEGMENT: | Granted | |
| PRIMARY EXAMINER: | Rotman, Alan L. | |
| ASSISTANT EXAMINER: | Desai, Rita | |
| LEGAL REPRESENTATIVE: | Richardson, Peter C., Benson, Gregg C., Ronau, Robert T. | |
| NUMBER OF CLAIMS: | 10 | |
| EXEMPLARY CLAIM: | 1 | |
| LINE COUNT: | 1126 | |

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The instant invention relates to intermediates of Formula II, ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are as defined in the specification, and to processes for preparing such intermediates. This invention also relates to processes for preparing compounds of Formula III, ##STR2## and enantiomers thereof, wherein R.sup.2, R.sup.3 and R.sup.4 are as defined in the specification. Compounds of Formula II and Formula III are intermediates in the preparation of a potent β.sub.3 adrenergic receptor agonist. The instant invention also relates to processes for preparing the β.sub.3 adrenergic receptor agonist using the compounds of Formula II and Formula III.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 12 OF 15 USPATFULL on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2000:121527 USPATFULL |
| TITLE: | 4-substituted quinoline derivatives having fungicidal activity |
| INVENTOR(S): | Daeuble, John, 2783 Wooded Glen Ct., Indianapolis, IN, United States 46268<br>Davis, L. Navell, 10076 N. 700E, Morristown, IN, United States 46161<br>Hellwig, Karin, 4778 Stansbury La., Indianapolis, IN, United States 46254<br>Kirby, Neil, 13911 Stonemill Cir., Carmel, IN, United States 46032<br>Parker, Marshall H., 771 Arrowwood Dr., Carmel, IN, United States 46033<br>Pieczko, Mary, 5323 Holly Springs W., Indianapolis, IN, United States 46254<br>Thomason, Lori K., 1756 Shorter Dr., Indianapolis, IN, United States 46214 |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 6117884 | | 20000912 |
| APPLICATION INFO.: | US 1997-904282 | | 19970731 (8) |
| DOCUMENT TYPE: | Utility | | |
| FILE SEGMENT: | Granted | | |
| PRIMARY EXAMINER: | Dees, Jose' G. | | |
| ASSISTANT EXAMINER: | Qozi, Sabiha N. | | |
| LEGAL REPRESENTATIVE: | Corvin, Carl D., Stuart, Donald R. | | |
| NUMBER OF CLAIMS: | 13 | | |

EXEMPLARY CLAIM: 1
LINE COUNT: 741
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB This invention provides compounds of formula (1) ##STR1## wherein the substituents are described in the specification. The compounds of formula (1) are plant fungicides.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 13 OF 15 USPATFULL on STN
ACCESSION NUMBER: 2000:92097 USPATFULL
TITLE: Process and intermediates for a β.sub.3 -adrenergic receptor agonist
INVENTOR(S): DeVries, Keith M., Chester, CT, United States
Raggon, Jeffrey W., Uncasville, CT, United States
Shanker, Ravi M., Groton, CT, United States
Urban, Frank J., Waterford, CT, United States
Vanderplas, Brian C., Old Lyme, CT, United States
PATENT ASSIGNEE(S): Pfizer Inc., New York, NY, United States (U.S. corporation)

| | NUMBER | KIND | DATE | |
|---|---|---|---|---|
| PATENT INFORMATION: | US 6090942 | | 20000718 | |
| APPLICATION INFO.: | US 1999-408998 | | 19990929 | (9) |

| | NUMBER | DATE |
|---|---|---|
| PRIORITY INFORMATION: | US 1998-104375P | 19981015 (60) |
| | US 1999-145460P | 19990723 (60) |

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Rotman, Alan L.
ASSISTANT EXAMINER: Desai, Rita
LEGAL REPRESENTATIVE: Richardson, Peter C., Benson, Gregg C., Ronau, Robert T.
NUMBER OF CLAIMS: 10
EXEMPLARY CLAIM: 1
LINE COUNT: 1124
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB The instant invention relates to intermediates of Formula II, ##STR1## wherein R.sup.1, R.sup.2 and R.sup.3 are as defined in the specification, and to processes for preparing such intermediates. This invention also relates to processes for preparing compounds of Formula III, ##STR2## and enantiomers thereof, wherein R.sup.2, R.sup.3 and R.sup.4 are as defined in the specification. Compounds of Formula II and Formula III are intermediates in the preparation of a potent β.sub.3 adrenergic receptor agonist. The instant invention also relates to processes for preparing the β.sub.3 adrenergic receptor agonist using the compounds of Formula II and Formula III.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 14 OF 15 USPATFULL on STN
ACCESSION NUMBER: 1998:1798 USPATFULL
TITLE: Substituted sulfonamides as selective β-3 agonists

for the treatment of diabetes and obesity

INVENTOR(S): Fisher, Michael H., Ringoes, NJ, United States
Naylor, Elizabeth M., Scotch Plains, NJ, United States
Parmee, Emma R., Hoboken, NJ, United States
Shih, Thomas, Edison, NJ, United States
Ok, Hyun, Edison, NJ, United States
Weber, Ann E., Scotch Plains, NJ, United States

PATENT ASSIGNEE(S): Merck & Co., Inc., Rahway, NJ, United States (U.S. corporation)

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 5705515 | | 19980106 |
| APPLICATION INFO.: | US 1996-684901 | | 19960725 (8) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1995-445630, filed on 22 May 1995, now patented, Pat. No. US 5561142 which is a continuation-in-part of Ser. No. US 1995-404565, filed on 21 Mar 1995, now abandoned which is a continuation-in-part of Ser. No. US 1994-233166, filed on 26 Apr 1994, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Davis, Zinna Northington
LEGAL REPRESENTATIVE: Yang, Mollie M., Rose, David L.
NUMBER OF CLAIMS: 13
EXEMPLARY CLAIM: 1
LINE COUNT: 1948
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Substituted sulfonamides are selective β.sub.3 adrenergic receptor agonists with very little β.sub.1 and β.sub.2 adrenergic receptor activity and as such the compounds are capable of increasing lipolysis and energy expenditure in cells. The compounds thus have potent activity in the treatment of Type II diabetes and obesity. The compounds can also be used to lower triglyceride levels and cholesterol levels or raise high density lipoprotein levels or to decrease gut motility. In addition, the compounds can be used to reduced neurogenic inflammation or as antidepressant agents. The compounds are prepared by coupling an aminoalkylphenyl-sulfonamide with an appropriately substituted epoxide. Compositions and methods for the use of the compounds in the treatment of diabetes and obesity and for lowering triglyceride levels and cholesterol levels or raising high density lipoprotein levels or for increasing gut motility are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L9 ANSWER 15 OF 15 USPATFULL on STN
ACCESSION NUMBER: 93:82865 USPATFULL
TITLE: Angiotensin II receptor antagonists
INVENTOR(S): Winn, Martin, Deerfield, IL, United States
De, Biswanath, Buffalo Grove, IL, United States
Zydowsky, Thomas M., Waukegan, IL, United States
Kerkman, Daniel J., Lake Villa, IL, United States
DeBernardis, John F., Lindenhurst, IL, United States
Rosenberg, Saul H., Libertyville, IL, United States
Shiosaki, Kazumi, Libertyville, IL, United States
Basha, Fatima Z., Lake Forest, IL, United States

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|---|---|
| | Tasker, Andrew S., Lindenhurst, IL, United States<br>von Geldern, Thomas W., Richmond, IL, United States<br>Kester, Jeffrey A., Deerfield, IL, United States<br>Boyd, Steven, Mundelein, IL, United States<br>Yamamoto, Diane M., Gurnee, IL, United States<br>Fung, Anthony K. L., Gurnee, IL, United States |
| PATENT ASSIGNEE(S): | Abbott Laboratories, Abbott Park, IL, United States (U.S. corporation) |

| | NUMBER | KIND | DATE |
|---|---|---|---|
| PATENT INFORMATION: | US 5250548 | | 19931005 |
| APPLICATION INFO.: | US 1992-844351 | | 19920302 (7) |

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-744241, filed on 15 Aug 1991 which is a continuation-in-part of Ser. No. US 1990-580400, filed on 10 Sep 1990, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted
PRIMARY EXAMINER: Ford, John M.
ASSISTANT EXAMINER: Gupta, Y. N.
LEGAL REPRESENTATIVE: Crowley, Steven R.
NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 7545
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds are disclosed having the formula: ##STR1## The compounds of the invention are angiotensin II receptor antagonists.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

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